

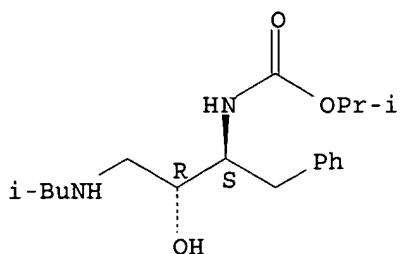
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Mickelson, John; Samala, Lakshman; Hom, Roy
PATENT ASSIGNEE(S) : Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn
Company
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PATENT INFORMATION:

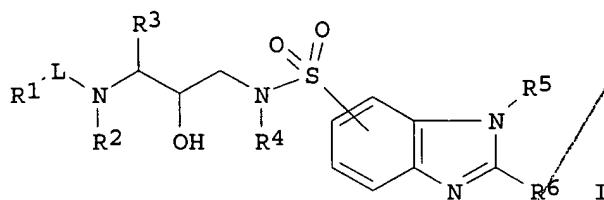
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003040096	A2	20030515	WO 2002-XA36072	20021108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			1021108
WO 2003040096	A2	20030515	WO 2002-US36072	20021108
WO 2003040096	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRIORITY APPLN. INFO.:			US 2001-337122P	P 20011108
			US 2001-344086P	P 20011228
			US 2002-345635P	P 20020103
			WO 2002-US36072	A 20021108

OTHER SOURCE(S) : MARPAT 139:245782
IT 527728-59-2P 527731-54-0P 527731-65-3P
527732-41-8P 527732-43-0P 527733-02-4P
527734-13-0P 527734-22-1P 597561-19-8P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease)
RN 527728-59-2 CAPLUS
CN 5-Oxazolepropanoic acid, 2-[3-[[[(1S,2R)-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methyl]amino]-2-hydroxypropyl]amino]carbonyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

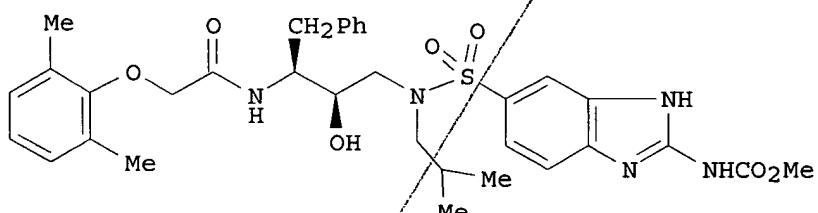
Absolute stereochemistry.



GI



I



II

AB Title compds. I [R1 = H, alkyl, alkenyl, aralkyl, aralkenyl, cycloalkyl, cycloalkylalkyl, aryl, heterocyclic, heterocyclalkyl, aminoalkyl; R2 = H, alkyl; R3 = (un)substituted alkyl, aryl, cycloalkyl; R4 = H, (un)substituted CO2H, CONH2, cycloalkyl, alkenyl, alkynyl, OH, NH2; R5 = H, (un)substituted alkyl; R6 = H, (un)substituted alkyl, NH2; L = CO, CO2, (un)substituted NHCO, OXCO, NHXCO, SO2, SO3, NHSO2, NHXSO2, where either CO or SOI2 is attached to NR2; X = alkanediyl] were prepared. Thus, Me 2-benzimidazolcarbamate was chlorosulfonylated, treated with (1S,2R)-PhCH2CH(NHBoc)CH(OH)CH2NHCH2CHMe2, deblocked, and treated with 2,6-Me2C6H3OCH2CO2H to give the title compound II which had pIC50 against HIV-1 strain LAI of 8.5.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 78 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:412801 CAPLUS

DOCUMENT NUMBER: 139:245782

TITLE: Preparation of N,N'-substituted-1,3-diamino-2-hydroxypropanes for treating Alzheimer's disease

INVENTOR(S): Varghese, John; Maillard, Michel; Jagodzinska, Barbara; Beck, James P.; Gailunas, Andrea; Fang,